Claims:

5

:=E

15

1. New compounds of general formula I

 R_3 R_2 R_1 R_3 R_2 R_3 R_3 R_4 R_5 R_5 R_5 R_1 R_3 R_4 R_5 R_5 R_1 R_1 R_2 R_3 R_4 R_5

in which the substituents have the meanings that are explained below:

 \underline{R}_1 and \underline{R}_2 are the same or different and mean:

- a) hydrogen, F, Cl, Br, I, CN, NC, OH, SH, NO₂, SO₃H, PO₃H, NH₂, CF₃, OSO₂(CH₂)_nCF₃, in which n is equal to 0, 1 or 2, -OSO₂-aryl, $-OSO_2$ -vinyl or $-OSO_2$ -ethinyl;
- b) a low (C_1-C_6) , optionally branched, optionally substituted (Ar)alkyl, (Ar)alkoxy, cycloalkyl or cycloalkoxy group;
- c) an amino group, which optionally is substituted by one or two identical or different low (C₁-C₆), optionally branched, optionally substituted (Ar)alkyl or (Ar)alkylcarbonyl or (Ar)alkoxycarbonyl groups or by a group that is selected from an optionally substituted pyrrolidine, piperidine, morpholine, thiomorpholine, piperazine, or homopiperazine radical;
- d) a -COOH, -COO(Ar)alkyl, -CO-amino group, which optionally is substituted as indicated under c), or a COH(Ar)alkyl group;

- e) a $-(CH_2)nX$ (in which X = Br, Cl, F or I), $-(CH_2)_nOH$, $-(CH_2)_nCHO$, $-(CH_2)_nCOOH$, $-(CH_2)_nCN$, $-(CH_2)_nNC$, $-(CH_2)_nCOalkyl$, or $-(CH_2)_nCOaryl$ group, in which n is 1-4;
- f) a $-(CH_2)_n vinyl$, $-(CH_2)_n ethinyl$, or $-(CH_2)_n cycloalkyl$ group in which n is 0, 1 or 2, whereby cycloalkyl is an aliphatic ring with 3 to 7 C atoms;
 - g) a C₃-C₆-substituted alkenyl group (optionally substituted with H, F, Br, Cl, CN, CO₂alkyl, COalkyl, COaryl);
 - h) a C_3 - C_6 -substituted alkinyl group (optionally substituted with H, F, Br, Cl, CN, CO_2 alkyl, CO_2 alkyl, CO_3 alkyl, CO_3
 - i) R^1 and R^2 together mean -CH=CH-CH=CH-, -O(CH₂)_nO- (n = 1 to 3), -CH=CHA₁- (A₁ is NH, O or S), or -CH₂CH₂-A₁ (A₁ is NH, O or S);

 \underline{R}_3 has the same meaning as R_1 , especially OH and OCH $_3$, or \underline{R}_2 and \underline{R}_3 together mean $-A_2$ (CH $_2$) $_nA_2$ -, in which n is 1 to 3 and substituents A_2 are the same or different and mean NH, O or S; \underline{R} , and \underline{R}_5 are either

a) both hydrogen,

or

10

II

: mile

15

20

- b) one of R_4 and R_5 is hydrogen, an (Ar)alkyl, (Ar)alkenyl or (Ar)alkinyl group, and the other of R_4 and R_5 is
 - i) OR_6 , in which R_6 means hydrogen, a low (C_1-C_{10}) , optionally branched or substituted) alkyl group or cycloalkyl group, a C_3-C_{10} substituted silyl group (for example, triethylsilyl, trimethylsilyl, t-butyldimethylsilyl or dimethylphenylsilyl), a C_2-C_{10} alpha-alkoxyalkyl group, for example tetrahydropyranyl, tetrahydrofuranyl,

- ii) O-CS-NHR₆ (thiourethane), in which R₆ has the
 meanings indicated above under i);
 - iii) O-CO-NHR, with the meaning below:

$$\bigvee_{O} \bigvee_{CH_3} \bigvee_{O} \bigvee_{CH_3} \bigvee_{CH_3}$$

iv) O-CO- HR_6 , in which R_6 has the meanings indicated above under i), especially ester with the substitution pattern of amino acids (both enantiomers), such as

- v) NR_7R_7 , in which two substituents R_7 are the same or different and mean hydrogen, a low (C_1-C_4) , optionally branched, alkyl group or cycloalkyl group, or substituents R_7 together are $-(CH_2)_{n-1}$, in which n is 3 to 5;
- vi) NH-COR $_6$ (amide), in which R $_6$ has the meanings indicated above under i);
- vii) $S-R_6$, in which R_6 has the meaning indicated above under i);

20

25

viii) SO_nR_8 , in which n is 0, 1 or 2, and in which R_8 is a (C_1-C_{10}) , optionally branched or cyclic, optionally substituted (Ar)alkyl group;

 \underline{G}_{1} : -(CH₂)_x-, in which x is 1 or 2;

 \underline{G}_{2} : -(CH₂)_y-, in which y is 0 to 2;

 $\underline{G_3}$: $-(CH_2)_z$ -, in which z is 0 to 3, provided that the sum of x+y+z is at least 2 and at most 4, or in which G_3 is carbonyl or thiocarbonyl, -CH(OH)- or -C(OH)=;

W is:

- a) $CR_{13}R_{14}$, in which R_{13} means hydrogen and R_{14} means $-(CH_2)_nNR_7R_7$, $-CO-NR_7R_7$ or $-COOR_7$, in which n is 0 to 2 and R_7 has the above-mentioned meanings, or R_7 and R_7 form a ring via $-(CH_2)_n$ -, in which n is 3 to 5, whereby substituents R_{13} and R_{14} can be exchanged;
- b) N-Phenyl (optionally substituted with fluorine, bromine, chlorine, (C_1-C_4) alkyl, CO_2 alkyl, CN, $CONH_2$, or alkoxy) means N-thien-2 or 3-yl, or N-fur-2 or 3-yl or an N-1,3,5-triazinyl, whereby the triazine radical can then be substituted with Cl, OR_6 or NR_7R_7 , and R_6 or R_7 has the meaning indicated above;

1

|'U. 15 c) One of the substituents that is presented below

$$R_{4} \longrightarrow R_{5} \longrightarrow R_{1} \longrightarrow R_{2} \longrightarrow R_{2$$

in which I means no bond or $-(CH_2)_n^-$, whereby n=0 to 3, carbonyl, thiocarbonyl, O, S, -SO- or SO_2 , R_6 has the meanings that are indicated above, and in which, Q is $-(CH_2)_n^-M^*-(CH_2)_m$, whereby n=0 to 4 and m=0 to 4 and M^* means alkinyl, alkenyl, disubstituted phenyl, disubstituted thiophene, disubstituted furan, disubstituted pyrazine, disubstituted pyridazine, a spacer of one of the formulas presented below, a peptide spacer L or a heterocyclic spacer HS of the formulas below,

$$L = \text{tetracycle}$$

$$R_{15}$$

 $X = NR_6$, 0 or S

Z = CH or N

in which R₁₅ means the side chain of D-, L-, D,L-aminoacids or unnatural amino acids, and for the case of n > 1, R₁₅ in the individual radicals in each case means the same or a different side chain of D-, L-, D,L-amino acids or unnatural amino acids, provided that atom N in addition to Q is connected in each case to groups G2 and G3 of formula I;

d) a tricyclic substituent (Tr) that is optionally substituted at least in one place with at least one heterocyclic ring as a ring component and a binding site to a carbon atom of

an anellated benzene ring thereof, which is connected via a spacer Q and the nitrogen atom that is adjacent to Q in each case with G_2 and G_3 of the compound of formula I, whereby Q has the meaning that is indicated above under c); or

e) -NH-, -O-, -S-, -SO- or -SO₂-.

5

20

2. New compounds of general formula II

$$\begin{array}{c} H \\ R_3 \\ R_2 \\ R_1 \\ \end{array}$$

$$\begin{array}{c} G_1 \\ G_3 \\ \end{array}$$

$$\begin{array}{c} G_2 \\ \end{array}$$

$$\begin{array}{c} G_1 \\ \end{array}$$

$$\begin{array}{c} G_2 \\ \end{array}$$

$$\begin{array}{c} G_1 \\ \end{array}$$

$$\begin{array}{c} G_2 \\ \end{array}$$

in which D means N-H, N-alkyl, N-acyl, oxygen or sulfur, and in which substituents R_1 to R_5 , G_1 to G_3 and W have the meanings that are indicated in claim 1 in general formula I.

New compound of general formula III

$$\begin{array}{c|c} R_{4} & R_{5} \\ \hline R_{3} & C_{1} & C_{1} \\ \hline R_{2} & C_{3} & C_{2} \\ \hline R_{1} & C_{2} & C_{3} \\ \hline \end{array}$$

$$(III)$$

in which $X-R_{16}$ is a substituent, in which X is oxygen or sulfur and R_{16} is hydrogen or a low (C_1-C_{10}) , optionally branched, optionally substituted (Ar)alkyl group, and in which substituents

 R_{τ} to R_{τ} , G_{1} to G_{τ} and W have the meanings that are indicated in general formula I.

4. New compound of general formula IV

5

single or double bond (IV)

in which R_{18} and R_{19} mean hydrogen, alkyl, aryl or aralkyl, and in which the C atoms that carry substituents R_{18} and R_{19} are linked to one another via a single bond or a double bond, and in which substituents R, to R, and G, and G, have the meanings that are indicated in general formula I, whereby W means CH or N.

- Compound according to one of claims 1 to 4, in which substituent , means a triethylsilyl, trimethylsilyl, tbutyldimethylsilyl or dimethylphenylsilyl.
 - Compound according to one of claims 1 to 4, in which substituent R, means tetrahydropyranyl, tetrahydrofuranyl, methoxymethyl, ethoxymethyl, (2-methoxypropyl), ethoxyethyl, phenoxymethyl or (1-phenoxyethyl).
- Compound according to one of claims 1 to/4, in which R4 25 is hydrogen, and R_5 is OR, CN, CO_2 -alkyl, $CONR_aR_b$, in which R_a is hydrogen, a low (C_1-C_6) , optionally branched, cyclic, substituted alkyl group, and R_b is hydrogen, a low (C_1-C_6) , optionally

branched or substituted alkyl group, or $R_a + R_b$ together are - $(CH_2)_n$ -, in which a means 2 to 6, or

- $-(CH_2)_n E(CH_2)_n$ -, in which E is the same as NH, N-alkyl, O, or S, and n is 0 to 5, aryl (phenyl or naphthyl), or a 6- heterocycle.
- 8. Compound according to claim 7, in which the 6heterocycle is imidazolyl, oxazolyl, isoxazolyl, triazolyl,
 tetrazolyl, oxadiazolyl, thiadiazolyl, pyridazinyl, pyrimidinyl,
 pyrazinyl and substituted variants thereof, imidazolinyl,
 thiazolinyl or oxazolinyl.
- 9. Compound according to one of claims 1 to 8, in which R_5 has a meaning other than hydrogen, and R_4 is OH.

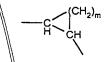
- 10. Compound according to one of claims 1 to 9, in which R_4 and R_5 together are carbonyl (=0), hydrazone (=N-NH-R₉, =N-NR₉R₁₀) or oxime (=N-OR₁₀), in which R₉ is hydrogen, a low (C₁-C₆), optionally branched or cyclic, optionally substituted (Ar)alkylor (Ar)alkylcarbonyl-, (Ar)alkylcarbonyloxy group or a sulfonic acid group, such as tosyl or mesyl, and R₁₀ is hydrogen, a low (C₁-C₆), optionally branched or cyclic, optionally substituted (Ar)alkyl- or (Ar)alkylcarbonyl group, a sulfonic acid group, such as a tosyl group or mesyl group.
 - 11. Compound according to one of claims 1 to 4, in which R, and R, together are substituents of the type





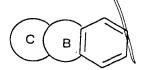
in which Y_1 , Y_2 are the same or different and mean O, S, NH or N-R₉ (free valences are in any case hydrogen), in which R₉ has the meanings that are mentioned in claim 10.

- 12. Compound according to claim 11, in which Y_1 is NH and Y_2 is N-R₉, and in which R₄ and R₅ are connected by -(CH₂)_n- (n = 2, 3, or 4).
 - 13. Compound according to one of claims 1 to 12, in which G_1 and G_2 together or separately mean:
 - $-C(R_{11}\ R_{12})$ -, in which R_{11} and R_{12} mean hydrogen, OH, a low, optionally branched or cyclic, optionally substituted (Ar)alkyl, aryl, (Ar)alkyloxy or aryloxy group or together an alkylspiro group (C_3 - C_7 spiro ring).
 - 14. Compound according to one of claims 1 to 13, in which G_1 and G_2 together mean



in which m is 1 to 7.

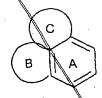
20 15. Compound according to one of claims 1 to 14, in which tricyclic substituent Tr is a condensed benzene ring of general formula



25

ΙŌ

or



J

or 1000

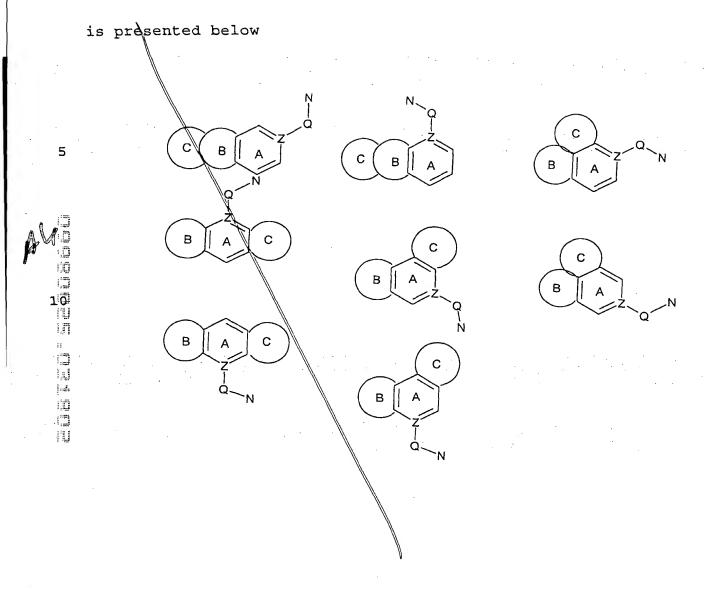
BAC

16. Compound according to claim 15, in which ring A is a substituted benzene ring.

17. Compound according to claim 15 or 16, in which one of things B and d is an optionally substituted heterocyclic ring and the other is a substituted ring that can contain one or more heteroatoms in the ring.

- 18. Compound according to one of claims 15 to 17, in which the benzene ring is substituted in at least one place, whereby these substituents are halogens, such as fluorine and chlorine, halo-C₁-C₃ alkyl groups, such as trifluoromethyl, C₁-C₃ alkyl groups, such as methyl, C₁-C₃ alkoxy groups, such as methoxy, and the hydroxy group, especially a halogen, such as fluorine.
- 19. Compound according to one of claims 15 to 18, in which the optionally substituted heterocyclic ring B or C is a 4- to 14-membered ring, preferably a 5- to 7-membered ring, especially

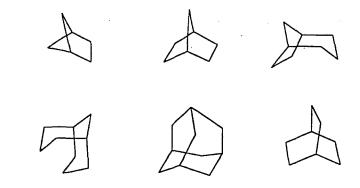
- a 5- to 7-membered, nonaromatic ring, which contains one or two identical or different heteroatoms.
 - 20. Compound according to claim 19, in which at least one heteroatom of the heterocyclic ring (1 to 3 heteroatoms are possible) is nitrogen, oxygen, or sulfur.
 - 21. Compound according to claim 20, in which heterocyclic ring B or C is pyridine, pyrazine, pyrimidine, imidazole, furan, thiophene, pyrrolidine, piperidine, hexamethylenimine, tetrahydrofuran, piperazine, morpholine or thiomorpholine.
 - 22. Compound according to one of claims 15 to 21, in which the 5- to 8-membered ring B or C is a 5- to 8-membered heterocyclic or alicyclic ring, or a carbon ring that is substituted at least in one place.
- 23. Compound according to claim 22, in which the 5- to 8membered carbon ring is a benzene ring or a saturated or
 unsaturated ring, for example, benzene, cyclopentane,
 cyclopentene, cyclohexane, cyclohexene, cyclohexadiene,
 cycloheptane, cycloheptene and cycloheptadiene.
- 24. Compound according to one of claims 1 to 23, in which tricyclic substituent Tr is a group from one of the formulas that



25. Compound according to one of claims 1 to 23, in which tricyclic substituent Tr is a group from one of the formulas that is presented pelow

25 26. Compound according to one of claims 1 to 25, in which Tr is a cyclic or bicyclic hydrocarbon.

27. Compound according to claim 26, in which Tr has one of the formulas below:



5

15

25

28. Compound according to one of claims 1 to 21, in which substituent Tr is substituted at least in one place with R_1 , and R_1 has the meanings indicated in claim 1.

- 29. Compound according to one of claims 1 to 28, in which substituent W is nitrogen and/or substituent G_1 is $-(CH_2)_x$ -, in which x is equal to 1 or 2 and G_2 means $-(CH_2)_y$ -, in which y is equal to 0 to 2, provided that x + y together mean at least 2 and at most 4.
- 30. Compound according to one of claims 1 to 29, in which substituents G_1 and G_2 together or separately have the meaning of $-CR_{11}R_{12}$, in which R_{11} and R_{12} mean hydrogen, hydroxy, a low, optionally branched or cyclic, optionally substituted (Ar)alkyl, aryl, (Ar)alkoxy or aryloxy group.
 - 31. Compound according to one of claims 1 to 30, in which G_1 and G_2 together are an alkylspiro group $(C_3-C_7$ spiro ring).

- 32. Process for the production of the compounds of claims 1
 to 31 characterized in that the combinatory or parallelsynthesis technology is used, whereby the basic molecule is
 immobilized by a functional group (linker) in a solid phase,
 which implements the synthesis of the target compound and then
 this target compound is separated from the solid phase.
 - 33. Process according to claim 32, wherein the basic molecule is immobilized in the solid phase via a carbon center, a nitrogen center or an oxygen center.
 - 34. Process according to claim 32 or 33, wherein $-X(CH_2)_nCO(X=CH_2,\ CO,\ O,\ S,\ NH),\ -X(CH_2)_nOCO\ (X=CH_2,\ CO,\ O,\ S,\ NH),\ -XC_6H_4CH_2-\ (=CH_2,\ CO,\ O,\ S,\ NH),\ THP,\ or\ -X(CH_2)_nSi(alkyl)_2$ is used as a functional group (linker).
- 35. Process according to claim 32 or 33, wherein $-X(CH_2)_nCO(X = CH_2, O, NH, So_{0-2}), -X(CH_2)_nCS(X = CH_2, O, NH, So_{0-2}), X(CH_2)_nJCO(X = CH_2, O, NH, So_{0-2}; J = NH, O, S), or XC_6H_4CH_2(X = CH_2, O, S) is used as a functional group (linker).$
 - 36. Process according to claim 32 or 33, wherein $-(CH_2)_n Si(alkyl)_2 -, -C_6H_4 Si(alkyl)_2 -, -(CH_2)_n Sn(alkyl)_2 -, \\ -C_6H_4 Sn(alkyl)_2, -(CH_2)_n S, or -C_6H_4 S is used as a functional group (linker).$
 - 37. Pharmaceutical agent that contains at least one of the compounds of general formulas I, II, III or IV, or a pharmaceutically acceptable salt thereof as an active ingredient.
- 25 38. Use of at least one of the compounds of general formulas I, II, III or IV, or a pharmaceutically acceptable salt thereof for the production of pharmaceutical agents.

39. Process for the production of pharmaceutical agents, in which at least one of the compounds of general formulas I, II, III or IV is mixed with a pharmaceutically acceptable vehicle and/or formulation adjuvant.

5

nacenae natena